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=> d his

     (FILE 'HOME' ENTERED AT 07:06:41 ON 27 JAN 2005)

     FILE 'REGISTRY' ENTERED AT 07:06:46 ON 27 JAN 2005
L1              STRUC
L2            1 S L1
L3          116 S L1 FUL
L4              STRUC
L5           75 SEARCH L4 SSS  SUB=L3 FUL
L6              STRUC
L7           54 SEARCH L6 SSS  SUB=L3 FUL
L8            2 S  C9 H9 BR2 F O2/MF AND L7

     FILE 'CAPLUS' ENTERED AT 07:17:27 ON 27 JAN 2005
L9            4 S L8

     FILE 'REGISTRY' ENTERED AT 07:19:38 ON 27 JAN 2005
L10          62 S L3 NOT L7

     FILE 'CAPLUS' ENTERED AT 07:20:15 ON 27 JAN 2005
L11          27 S L10

=> s l11 and py<=1998
      18930403 PY<=1998
L12          12 L11 AND PY<=1998

=> d bib abs hitstr 1-12

L12  ANSWER 1 OF 12  CAPLUS  COPYRIGHT 2005 ACS on STN
AN   1998:269354  CAPLUS
DN   129:13798
TI   Structural and Functional Consequences of Haloenol Lactone Inactivation of
     Murine and Human Glutathione S-Transferase
AU   Mitchell, Alyson E.; Zheng, Jiang; Hammock, Bruce D.; Lo Bello, Mario;
     Jones, A. Daniel
CS   Facility for Advanced Instrumentation and Departments of Entomology and
     Environmental Toxicology, University of California, Davis, CA, 95616-8597,
     USA
SO   Biochemistry (1998), 37(19), 6752-6759
     CODEN: BICHAW; ISSN: 0006-2960
PB   American Chemical Society
DT   Journal
LA   English
AB   Mass spectrometric anal. of proteolysis products of haloenol
     lactone-modified glutathione S-transferase isoenzyme mGSTP1 indicates that
     the haloenol lactone 3-cinnamyl-5(E)-bromomethylidenetetrahydro-2-furanone
     is covalently attached to the protein at Cys-47.  Comparisons of the
     extent of adduct formation with losses in enzymic activity indicate that
     mGSTP1 exhibits greatest reactivity toward the haloenol lactone, followed
     by mGSTM1 and mGSTA3.  Activities of mGSTP1 and mGSTM1 decrease in inverse
     proportion to haloenol lactone concentration, whereas modification had no
     apparent effect on catalytic activity of mGSTA3.  Decreases in activity
     agree with the extent of protein modification observed in ESI mass spectra
     for mGSTP1 and mGSTM1 but not for mGSTA3.  Kinetic studies employing
     recombinant human proteins with replacement of cysteine by serine at
     Cys-47 and Cys-101 indicate that rapid inactivation (t1/2 = 2 min) occurs
     only when residue 47 is cysteine.  Mass spectra of C47S-hGSTP1 incubated
     with haloenol lactone demonstrate covalent attachment of a haloenol
     lactone-glutathione conjugate and suggest that an ester forms between the
     lactone and Ser-47.  Therefore, we propose that initial opening of the
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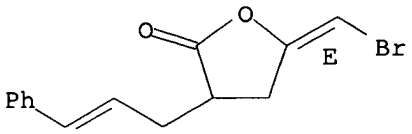
lactone ring is promoted by Cys-47 through thioester formation between the lactone carbonyl and the Cys-47 sulfhydryl. Enol-keto tautomerization and enzyme-mediated hydrolytic cleavage of the thioester produces a reactive α-bromoketone which reacts a second time with Cys-47 and inactivates the enzyme. These results suggest that Pi class GSTs have thioesterase activity and that haloenol lactone inactivation occurs through an enzyme-mediated process.

IT **207733-33-3**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); BIOL (Biological study); RACT (Reactant or reagent)
(structural and functional consequences of haloenol lactone inactivation of murine and human glutathione S-transferase)

RN 207733-33-3 CAPLUS

CN 2(3H)-Furanone, 5-(bromomethylene)dihydro-3-(3-phenyl-2-propenyl)-, (5E)-(9CI) (CA INDEX NAME)

Double bond geometry as described by E or Z.



RE.CNT 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1997:801151 CAPLUS

DN 128:123529

TI Haloenol lactone: a new synergist of chemotherapy in vitro

AU Zheng, Jiang; Wurz, Gregory T.; Cadman, Timothy B.; Degregorio, Michael W.; Jones, A. Daniel; Hammock, Bruce D.

CS Departments of Entomology and Environmental Toxicology, University of California at Davis, Davis, CA, 95616, USA

SO Biochemical and Biophysical Research Communications (**1997**), 241(1), 13-17
CODEN: BBRCA9; ISSN: 0006-291X

PB Academic Press

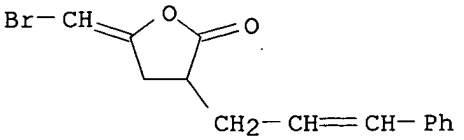
DT Journal

LA English

AB Over-expression of glutathione S-transferases (GST) has been found to play a significant role in multiple drug resistance in cancer chemotherapy. To combat GST-mediated drug resistance, GST inhibitors are being studied as potential synergists for effective cancer chemotherapy. We have designed and synthesized a haloenol lactone derivative as a mechanism-based inactivator of GST-π isoenzyme. In the current study, we examined the inhibitory effect of the haloenol lactone compound on GST of a human renal carcinoma cell line UOK130 and found that this compound shows time-dependent GST inhibition in these cancer cells. The enzyme activity lost upon incubation with the haloenol lactone could not be restored by extensive dialysis against buffer. Pretreatment of the cancer cells with 1.0 μM of haloenol lactone increased cytotoxicity induced by cisplatin in the UOK130 cell line. This report further supports the possibility of synergizing alkylating agents in cancer chemotherapy by use of selective GST inhibitors.

IT **181181-20-4**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

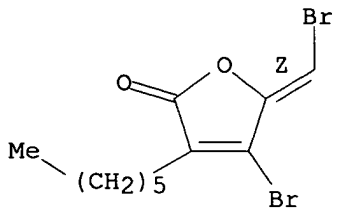
(antitumor action of cisplatin and haloenol lactone)
RN 181181-20-4 CAPLUS
CN 2(3H)-Furanone, 5-(bromomethylene)dihydro-3-(3-phenyl-2-propenyl)- (9CI) (CA INDEX NAME)



RE.CNT 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

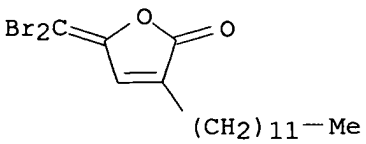
L12 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1997:745270 CAPLUS
DN 128:34643
TI Reinvestigation of the sulfuric acid-catalyzed cyclization of brominated 2-alkyllevulinic acids to 3-alkyl-5-methylene-2(5H)-furanones
AU Manny, Anthony J.; Kjelleberg, Staffan; Kumar, Naresh; de Nys, Rocky; Read, Roger W.; Steinberg, Peter
CS Sch. Chem., Sch. Microbiol. Immunol., Sch. Biol. Sci., Univ. New South Wales, Sydney, NSW 2052, Australia
SO Tetrahedron (**1997**), 53(46), 15813-15826
CODEN: TETRAB; ISSN: 0040-4020
PB Elsevier Science Ltd.
DT Journal
LA English
AB A synthesis of ethyl-, butyl-, hexyl- and dodecyl-substituted fimbrolide derivs. from (alkyl)levulinic acid derivs. through bromination and acid promoted lactonization was described. The underlying reactions were investigated using levulinic acid as a model, and the effects of varying the bromination conditions and changing acid concentration on product distribution are discussed. Dibromination proceeded best in CHCl3 and proceeded in EtOH-free CHCl3 without the complication of ester formation. Cyclization occurs with concomitant oxidation in 98-100% H2SO4 but gave highest yields of fimbrolide derivs. in 100% H2SO4. The formation of related beckerelide substances is also described.
IT **183792-79-2P 183792-80-5P 183792-81-6P**
183792-82-7P 183792-83-8P 199744-22-4P
199744-23-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of alkyl(methylene)furanones via lactonization of bromo(alkyl)levulinate derivs.)
RN 183792-79-2 CAPLUS
CN 2(5H)-Furanone, 4-bromo-5-(bromomethylene)-3-hexyl-, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

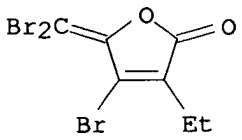


RN 183792-80-5 CAPLUS

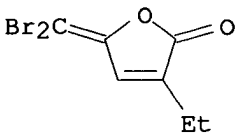
CN 2(5H)-Furanone, 5-(dibromomethylene)-3-dodecyl- (9CI) (CA INDEX NAME)



RN 183792-81-6 CAPLUS
CN 2(5H)-Furanone, 4-bromo-5-(dibromomethylene)-3-ethyl- (9CI) (CA INDEX NAME)

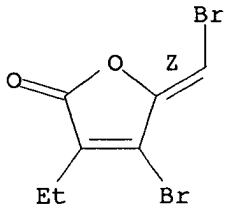


RN 183792-82-7 CAPLUS
CN 2(5H)-Furanone, 5-(dibromomethylene)-3-ethyl- (9CI) (CA INDEX NAME)



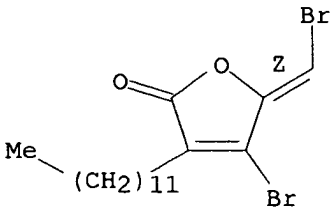
RN 183792-83-8 CAPLUS
CN 2(5H)-Furanone, 4-bromo-5-(bromomethylene)-3-ethyl-, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

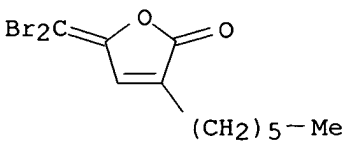


RN 199744-22-4 CAPLUS
CN 2(5H)-Furanone, 4-bromo-5-(bromomethylene)-3-dodecyl-, (5Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 199744-23-5 CAPLUS
CN 2(5H)-Furanone, 5-(dibromomethylene)-3-hexyl- (9CI) (CA INDEX NAME)



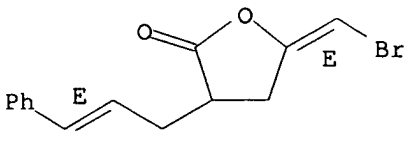
RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1996:748432 CAPLUS
DN 126:14753
TI Inhibition of glutathione transferase by haloenol lactones
IN Jones, Daniel A.; Mitchell, Alyson E.; Hammock, Bruce D.; Zheng, Jiang
PA Regents of the University of California, USA
SO PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9632936	A1	19961024	WO 1996-US5290	19960417 <--
	W: CA, JP				
	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	US 5767147	A	19980616	US 1995-426593	19950421 <--
	US 6103665	A	20000815	US 1998-94926	19980615
	US 6495370	B1	20021217	US 2000-639392	20000815
PRAI	US 1995-426593	A	19950421		
	US 1998-94926	A3	19980615		

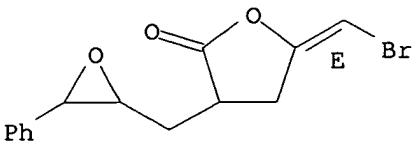
OS MARPAT 126:14753
AB This invention relates to novel haloenol lactone compds. These compds. are ArCHR1CHR2CHR3Y, in which Ar is an aryl group and Y is a haloenol lactone moiety. The compds. of the invention are useful for the specific measurement of particular isoenzymes of glutathione S-transferase. Measurements of glutathione S-transferase isoenzymes has importance in diagnostic medicine. The compds. of the invention are also useful for treatment of drug resistance in cancer and for preventing herbicide resistance in plants.
IT **183991-96-0P 184302-52-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(inhibition of glutathione transferase by haloenol lactones)
RN 183991-96-0 CAPLUS
CN 2(3H)-Furanone, 5-(bromomethylene)dihydro-3-[(2E)-3-phenyl-2-propenyl]-, (5E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 184302-52-1 CAPLUS
CN 2(3H)-Furanone, 5-(bromomethylene)dihydro-3-[(3-phenyloxiranyl)methyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L12 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1996:731975 CAPLUS
DN 126:4540
TI Methods for microbial regulation
IN Kjelleberg, Staffan; Steinberg, Peter; De, Nys Peter Canisius; Maximilien, Ria; Manefield, Michael; Givskov, Michael; Gram, Lone
PA Unisearch Limited, Australia
SO PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

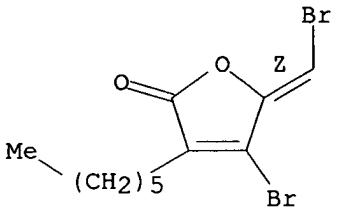
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9629392	A1	19960926	WO 1996-AU167	19960325 <--
	W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN				
	CA 2215797	AA	19960926	CA 1996-2215797	19960325 <--
	AU 9649996	A1	19961008	AU 1996-49996	19960325 <--
	AU 708962	B2	19990819		
	EP 815201	A1	19980107	EP 1996-906677	19960325 <--
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	BR 9607661	A	19980616	BR 1996-7661	19960325 <--
	CN 1185173	A	19980617	CN 1996-194117	19960325 <--
	JP 11502108	T2	19990223	JP 1996-527912	19960325
	US 2002037578	A1	20020328	US 1998-913762	19980304
	US 6555356	B2	20030429		
PRAI	AU 1995-1912	A	19950323		
	WO 1996-AU167	W	19960325		

AB A method and microbial culture medium for inhibiting homoserine lactone- and/or acylated homoserine lactone-regulated processes in microorganisms using furanone compds. derived from Delisea pulchra or their chemical derivs. are claimed.

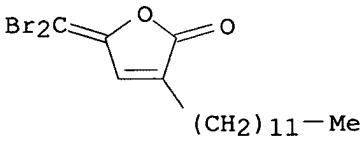
IT **183792-79-2 183792-80-5 183792-81-6**
183792-82-7 183792-83-8
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(homoserine lactone- and/or acylated homoserine lactone-regulated processes in microorganisms inhibition by furanone derivs.)

RN 183792-79-2 CAPLUS
CN 2(5H)-Furanone, 4-bromo-5-(bromomethylene)-3-hexyl-, (Z)- (9CI) (CA INDEX NAME)

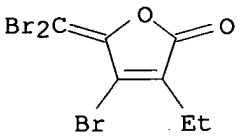
Double bond geometry as shown.



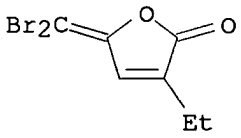
RN 183792-80-5 CAPLUS
CN 2(5H)-Furanone, 5-(dibromomethylene)-3-dodecyl- (9CI) (CA INDEX NAME)



RN 183792-81-6 CAPLUS
CN 2(5H)-Furanone, 4-bromo-5-(dibromomethylene)-3-ethyl- (9CI) (CA INDEX NAME)

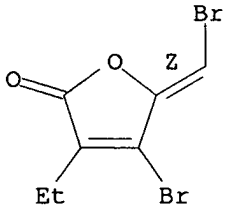


RN 183792-82-7 CAPLUS
CN 2(5H)-Furanone, 5-(dibromomethylene)-3-ethyl- (9CI) (CA INDEX NAME)



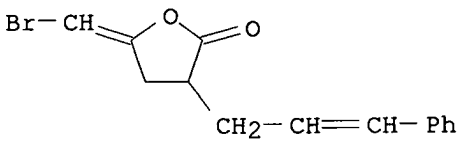
RN 183792-83-8 CAPLUS
CN 2(5H)-Furanone, 4-bromo-5-(bromomethylene)-3-ethyl-, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



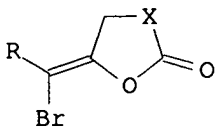
L12 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1996:528381 CAPLUS
DN 125:189158
TI Haloenol lactone is a new isoenzyme-selective and active site-directed inactivator of glutathione S-transferase
AU Zheng, Jiang; Mitchell, Alyson E.; Jones, A. Daniel; Hammock, Bruce D.
CS Departments Entomology and Environmental Toxicology, University California, Davis, CA, 95616, USA
SO Journal of Biological Chemistry (**1996**), 271(34), 20421-20425
CODEN: JBCHA3; ISSN: 0021-9258
PB American Society for Biochemistry and Molecular Biology
DT Journal
LA English
AB A haloenol lactone derivative has been synthesized and found to be an isoenzyme-selective and active site-directed inactivator of glutathione S-transferase (GST). Preincubation of the haloenol lactone (100 μM) with murine Alpha, Mu, or Pi GST isoenzyme (1.0 μM) at pH 6.5, 37° resulted in time-dependent loss of enzyme activity with highly selective inhibition of the Pi isoenzyme (t1/2, .apprx. 2 min). In a sep. experiment, a 10-fold excess of the lactone was incubated with GST-Pi isoenzyme at 37° for 3 h, followed by dialysis against Nanopure water. GST activity lost upon incubation with the lactone could not be restored by exhaustive dialysis, and only 8% of enzyme activity for the modified GST remained relative to the control that was treated identically except the lactone was omitted from the incubation. Both control and modified GST were characterized using electrospray ionization mass spectrometry. No native GST (23,478 Da) was observed in the spectrum of modified GST. Instead, protein incubated with the lactone exhibited an increase in mol. mass of 230 Da relative to control GST. The lactone (100 μM) was incubated with GST Pi isoenzyme (1.0 μM) in the presence of the competitive inhibitor S-hexylglutathione (10 μM), which suppressed time-dependent inhibition of GST by the lactone. The results suggest that this haloenol lactone is an irreversible and active site-directed inhibitor of GST that appears to inhibit the enzyme through two consecutive steps of nucleophilic attack.
IT **181181-20-4P**
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process); RACT (Reactant or reagent)
(haloenol lactone is a new isoenzyme-selective and active site-directed inactivator of glutathione S-transferase)
RN 181181-20-4 CAPLUS
CN 2(3H)-Furanone, 5-(bromomethylene)dihydro-3-(3-phenyl-2-propenyl)- (9CI) (CA INDEX NAME)



L12 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1991:655947 CAPLUS
DN 115:255947
TI Stereoselective Z- and E-bromoenol lactonization of alkynoic acids
AU Dai, Wei; Katzenellenbogen, John A.
CS Dep. Chem., Univ. Illinois, Urbana, IL, 61801, USA
SO Journal of Organic Chemistry (**1991**), 56(24), 6893-6
CODEN: JOCEAH; ISSN: 0022-3263

DT Journal
LA English
OS CASREACT 115:255947
GI



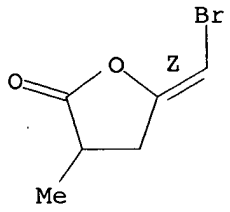
II

AB Bromination-lactonization of RC.tplbond.CCH2-X-CO2H [I, R = H, X = CH2CH(CHMe2), CMe2CH2, CH2CHPh, CH2CH2, CHMe, CH2; R = Me, X = CH2CH(CHMe2)] with Br2 in MeCN-H2O gave Z-lactones II. Reacting I with N-bromosuccinimide in CH2Cl2 in the presence of a base (K2CO3, KHCO3), gave the corresponding E-lactones.

IT **136358-19-5P 136408-11-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

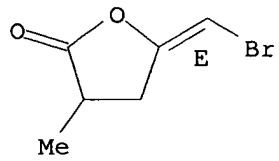
RN 136358-19-5 CAPLUS
CN 2(3H)-Furanone, 5-(bromomethylene)dihydro-3-methyl-, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



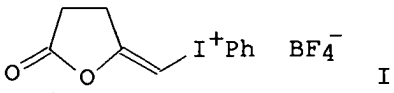
RN 136408-11-2 CAPLUS
CN 2(3H)-Furanone, 5-(bromomethylene)dihydro-3-methyl-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L12 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1990:478038 CAPLUS
DN 113:78038
TI Synthesis of iodo(III) enol lactones via iodine(III)-induced lactonization of alkynoic acids. Structurally potential serine protease inactivators
AU Ochiai, Masahito; Takaoka, Yoshikazu; Masaki, Yukio; Inenaga, Minako; Nagao, Yoshimitsu
CS Gifu Pharm. Univ., Gifu, 502, Japan
SO Tetrahedron Letters (**1989**), 30(48), 6701-4
CODEN: TELEAY; ISSN: 0040-4039

DT Journal
LA English
OS CASREACT 113:78038
GI



I

AB Iodine(III)-induced lactonization of 4- and 5-alkynoic acid utilizing a combination of iodosylbenzene and BF3-Et2O affords cyclic β-acyloxyvinyliodonium tetrafluoroborates, e.g., I from 4-pentynoic acid. Structurally the products are potential serine protease inactivators.

IT **128548-61-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

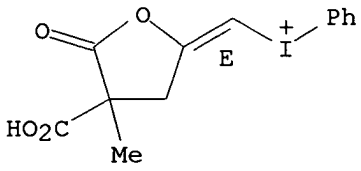
RN 128548-61-8 CAPLUS

CN Iodonium, [(4-carboxydihydro-4-methyl-5-oxo-2(3H)-furanylidene)methyl]phenyl-, (E)-, tetrafluoroborate(1-) (9CI) (CA INDEX NAME)

CM 1

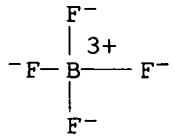
CRN 128548-60-7
CMF C13 H12 I O4

Double bond geometry as shown.



CM 2

CRN 14874-70-5
CMF B F4
CCI CCS

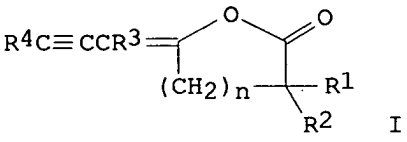


L12 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1986:608754 CAPLUS
DN 105:208754
TI Ynenolactone protease inhibitors

IN Krantz, Alexander; Tam, Tim F.; Spencer, Robin W.
PA Syntex (U.S.A.), Inc., USA
SO U.S., 10 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 4602006	A	19860722	US 1984-608340	19840509 <--
PRAI US 1984-608340		19840509		

OS CASREACT 105:208754
GI



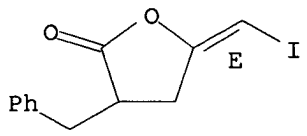
I

AB The title alkynylidenelactones I [R1-R3 = H, alkyl, alkenyl, alkynyl, (un)substituted Ph, aralkyl; R4 = H, alkyl, alkenyl, alkynyl, trialkylsilyl, (un)substituted Ph, aralkyl; n = 1-3] useful as protease inhibitors, were prepared Thus, 3-benzyl-6-(E)-[3-(trimethylsilyl)-2-propynylidene]tetrahydro-2-furanone, prepared in several steps from 4-pentynoic acid, was desilylated by treatment with AgNO3 and KCN to give (E)-I (R1-R4 = H, n - 1) (II). The inhibitory activity of II was demonstrated against human leukocyte elastase. Injection and tablet formulations containing I are given.

IT **93040-53-0P 93040-55-2P 103437-56-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and alkynylation of)

RN 93040-53-0 CAPLUS
CN 2(3H)-Furanone, dihydro-5-(iodomethylene)-3-(phenylmethyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 93040-55-2 CAPLUS
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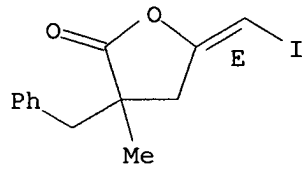
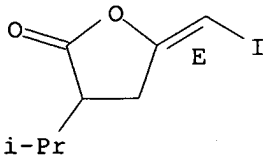
Double bond geometry as shown.

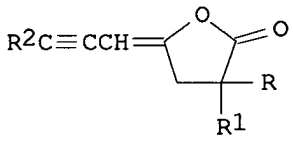


RN 103437-56-5 CAPLUS
CN 2(3H)-Furanone, dihydro-5-(iodomethylene)-3-(1-methylethyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L12 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1986:496795 CAPLUS
DN 105:96795
TI Ynenol lactones: synthesis and investigation of reactions relevant to their inactivation of serine proteases
AU Spencer, Robin W.; Tam, Tim Fat; Thomas, Everton; Robinson, Valerie J.; Krantz, Allen
CS Syntex Res., Mississauga, ON, L5N 3X4, Can.
SO Journal of the American Chemical Society (**1986**), 108(18), 5589-97
CODEN: JACSAT; ISSN: 0002-7863
DT Journal
LA English
OS CASREACT 105:96795
GI



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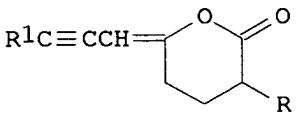


II

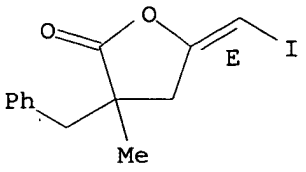
AB Ynenol lactones (E)-I (R = H, CH2Ph, CHMe2, Bu; R1 = H, Me; R2 = H, Me, Ph, pentyl), (Z)-I (R = H, CH2Ph; R1 = R2 = H), and (E)-II (R = H, CH2Ph; R1 = H, Ph, pentyl), designed as serine protease suicide substrates, are prepared via iodolactonization of ω-hexynoic and ω-pentynoic acids, followed by the CuI/Et3N/PdCl2(PPh3)2-mediated coupling of the resulting E iodo enol lactones with appropriate alkynes. Isomerization of the E iodo enol lactones gives the Z isomers, which can be separated and coupled to give (Z)-I. The alkaline hydrolysis of ynenol lactones parallels the reaction sequence proposed to account for ynenol lactone inactivation of serine proteases, namely, lactone ring cleavage, rearrangement to the allenone, and conjugate addition of a nucleophile to the β-C of the allenone. When the acetylene terminus of the ynenol lactone is unsubstituted, alkaline hydrolysis leads to the allenone without a detectable intermediate. When the terminus is alkyl- or phenyl-substituted, an intermediate (probably a propargyl ketone) is apparent in the reaction kinetics. Base-catalyzed isomerization of 1-hexyn-4-one and 2-hexyn-5-one to allenones indicates a profound effect on γ substitution (kγ-H/kγ-Me = 300). Nucleophilic attack on the allenones by hydroxide and BuNH2 gives, resp., 1,3-dione monoanions and cis amino enones. When the allenone is γ-Ph substituted, an intermediate

consistent with an ynenolate anion is apparent in the kinetics and UV spectra of hydroxide addition; the intermediate is formed with a pKa of 13.4. Similar pKa values are observed in the kinetics of hydroxide addition to γ-methyl-substituted allenones.

IT **93040-55-2P 103437-56-5P 103437-61-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction with alkynes)

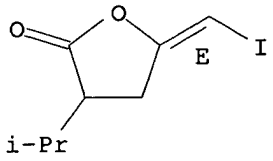
RN 93040-55-2 CAPLUS
CN 2(3H)-Furanone, dihydro-5-(iodomethylene)-3-methyl-3-(phenylmethyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



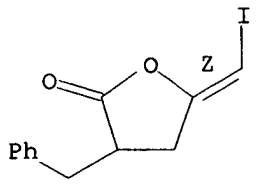
RN 103437-56-5 CAPLUS
CN 2(3H)-Furanone, dihydro-5-(iodomethylene)-3-(1-methylethyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 103437-61-2 CAPLUS
CN 2(3H)-Furanone, dihydro-5-(iodomethylene)-3-(phenylmethyl)-, (Z)- (9CI) (CA INDEX NAME)

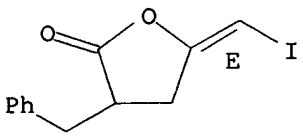
Double bond geometry as shown.



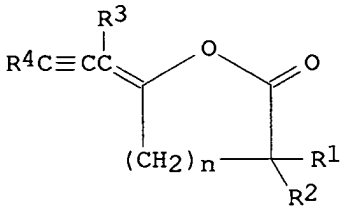
IT **93040-53-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reactions of)

RN 93040-53-0 CAPLUS
CN 2(3H)-Furanone, dihydro-5-(iodomethylene)-3-(phenylmethyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L12 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1985:2440 CAPLUS
DN 102:2440
TI Novel suicide inhibitors of serine proteinases. Inactivation of human leukocyte elastase by ynenol lactones
AU Tam, Tim Fat; Spencer, Robin W.; Thomas, Everton M.; Copp, Leslie J.; Krantz, Allen
CS Syntex Inc., Missisauga, ON, L5M 2B3, Can.
SO Journal of the American Chemical Society (**1984**), 106(22), 6849-51
CODEN: JACSAT; ISSN: 0002-7863
DT Journal
LA English
GI

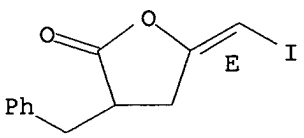


I, R^1-R^4=H, n=1

II, R^1=Bu, CH_2Ph, R^2=R^3=R^4=H or Me, n=1 or 2

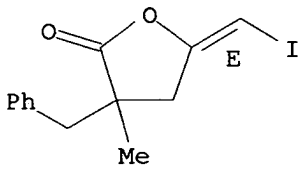
AB Novel aralkyl and alkyl 5-(E)-(2-propynylidene)tetrahydrofuran-2-ones and 6-(E)-(2-propynylidene)tetrahydropyran-2-ones were prepared from the corresponding 5-(E)-iodoenolactones by coupling terminal alkynes in the presence of CuI and bis(triphenylphosphine)palladium(II) chloride. Although I is a substrate for the serine protease, human leukocyte elastase (EC 3.4.21.11., HLE), it does not inactivate this enzyme. By contrast, II, with a single substituent at C-3, are potent, time-dependent inhibitors of HLE. Substitution, either geminal at C-3, or terminal on the alkyne moiety, results in a significant decrease in the rate of inactivation. The mechanism of inactivation of HLE by ynenol lactones probably involves acyl-enzyme formation and unmasking of the allenone, [HCR4 = C = CR3CO(CH2)nCR1R2CO2] followed by capture of an enzyme nucleophile. Ynenol lactones are thus the 1st examples of suicide inhibitors in which en-ynes are employed as the latent functionality.
IT **93040-53-0 93040-55-2**
RL: PROC (Process)
(conversion of, to ynenol lactone)
RN 93040-53-0 CAPLUS
CN 2(3H)-Furanone, dihydro-5-(iodomethylene)-3-(phenylmethyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

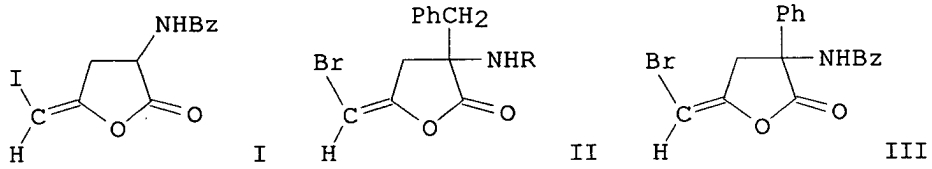


RN 93040-55-2 CAPLUS
CN 2(3H)-Furanone, dihydro-5-(iodomethylene)-3-methyl-3-(phenylmethyl)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



L12 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1983:522858 CAPLUS
DN 99:122858
TI Synthesis of five-membered halo enol lactone analogs of α-amino acids: potential protease suicide substrates
AU Sofia, Michael J.; Chakravarty, Prasun K.; Katzenellenbogen, John A.
CS Sch. Chem. Sci., Univ. Illinois, Urbana, IL, 61801, USA
SO Journal of Organic Chemistry (**1983**), 48(19), 3318-25
CODEN: JOCEAH; ISSN: 0022-3263
DT Journal
LA English
GI



I II III

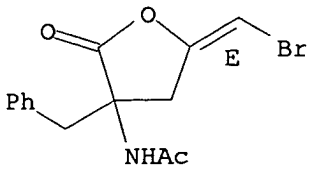
AB Title lactones were prepared by synthetic routes involving the conversion of a propargyl-substituted amino acid derivative into a (E)-5-halomethylidenetetrahydro-2-furanone by a halolactonization process. Thus, BzNHCH(CO2Et)2 was treated with Me3SiC.tplbond.CCH2Br in THF containing NaH to give 50% Me3SiC.tplbond.CCH2C(NHBz)(CO2Et)2, which was saponified and then decarboxylated to give 70% HC.tplbond.CCH2CH(NHBz)CO2H, which was treated with N-iodosuccinimide to give 67% lactone I. Phenylalanine lactones II (R = Ac, H) and phenylglycine lactone III were prepared similarly. The above lactones can act as enzyme-activated irreversible inhibitors of serine proteases.

IT **86748-70-1P 86748-73-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as potential protease suicide substrate)

RN 86748-70-1 CAPLUS

CN Acetamide, N-[5-(bromomethylene)tetrahydro-2-oxo-3-(phenylmethyl)-3-furanyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

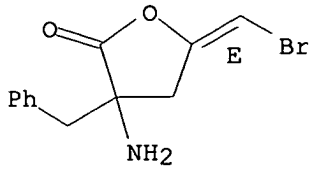


RN 86748-73-4 CAPLUS
CN 2(3H)-Furanone, 3-amino-5-(bromomethylene)dihydro-3-(phenylmethyl)-, (E)-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

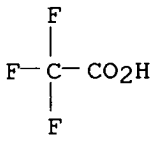
CRN 86748-72-3
CMF C12 H12 Br N O2

Double bond geometry as shown.



CM 2

CRN 76-05-1
CMF C2 H F3 O2



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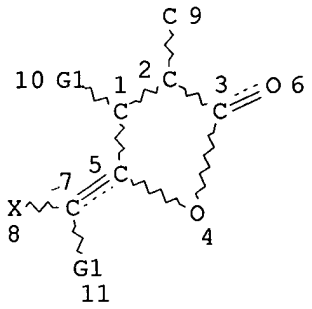
L1 STR



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DEFAULT ECLEVEL IS LIMITED

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RSPEC 1
NUMBER OF NODES IS 11

STEREO ATTRIBUTES: NONE

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100.0% PROCESSED 4967 ITERATIONS 116 ANSWERS
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L3 116 SEA SSS FUL L1

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L6                STR
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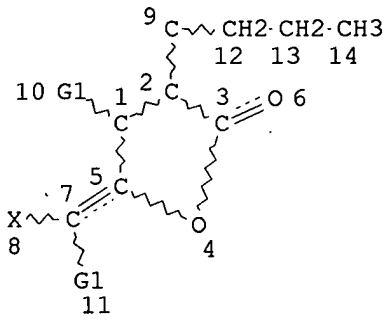


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DEFAULT ECLEVEL IS LIMITED

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STEREO ATTRIBUTES: NONE


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             4 C9 H9 BR2 F O2/MF
L8           2 C9 H9 BR2 F O2/MF AND L7

=> d 1-2

L8   ANSWER 1 OF 2  REGISTRY  COPYRIGHT 2005 ACS on STN
RN   247167-56-2  REGISTRY
CN   2(5H)-Furanone, 4-bromo-5-(bromomethylene)-3-(1-fluorobutyl)-, (5Z)- (9CI)
     (CA INDEX NAME)
FS   STEREOSEARCH
MF   C9 H9 Br2 F O2
SR   CA
LC   STN Files:   CA, CAPLUS, TOXCENTER, USPATFULL
DT.CA  CAplus document type:  Patent
RL.P   Roles from patents:  BIOL (Biological study); USES (Uses)

Double bond geometry as shown.
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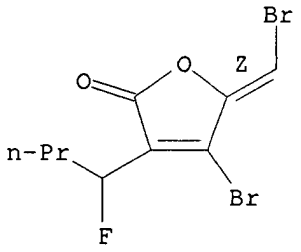


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**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

             3 REFERENCES IN FILE CA (1907 TO DATE)
             3 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L8   ANSWER 2 OF 2  REGISTRY  COPYRIGHT 2005 ACS on STN
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CN   2(5H)-Furanone, 5-(dibromomethylene)-3-(1-fluorobutyl)- (9CI)  (CA INDEX
     NAME)
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MF   C9 H9 Br2 F O2
SR   CA
LC   STN Files:   CA, CAPLUS, CASREACT
DT.CA  CAplus document type:  Patent
RL.P   Roles from patents:  BIOL (Biological study); PREP (Preparation); USES
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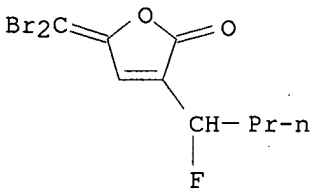


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**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**
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1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> fil caplus
COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION
FULL ESTIMATED COST | 254.86 | 255.07

FILE 'CAPLUS' ENTERED AT 07:17:27 ON 27 JAN 2005
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 27 Jan 2005 VOL 142 ISS 5
FILE LAST UPDATED: 26 Jan 2005 (20050126/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l8
L9 4 L8

=> d bib abs hitstr 1-4

L9 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2001:693087 CAPLUS
DN 135:251940
TI Furanones for the inhibition of fungi
IN Holmstrom, Gerd Pia Carola; Kjelleberg, Staffan
PA Unisearch Limited, Australia
SO PCT Int. Appl., 32 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001068091	A1	20010920	WO 2001-AU296	20010316

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRAI AU 2000-6290 A 20000316
AB The invention provides antifungal compns. and methods of treating fungal

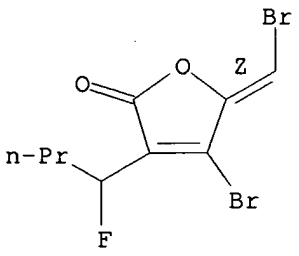
infections. The composition includes a furanone derivative as active agent.

IT **247167-56-2**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(furanones for inhibition of fungi)

RN 247167-56-2 CAPLUS

CN 2(5H)-Furanone, 4-bromo-5-(bromomethylene)-3-(1-fluorobutyl)-, (5Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2001:693086 CAPLUS
DN 135:236406
TI Microbial inhibitory compositions containing furanones and cell permeabilizing agents
IN Holmstrom, Gerd Pia Carola; Kjelleberg, Staffan
PA Unisearch Limited, Australia
SO PCT Int. Appl., 44 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001068090	A1	20010920	WO 2001-AU295	20010316
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	EP 1274420	A1	20030115	EP 2001-911289	20010316
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	US 2003198692	A1	20031023	US 2002-221675	20021028
PRAI	AU 2000-6292	A	20000316		
	WO 2001-AU295	W	20010316		

OS MARPAT 135:236406

AB The present invention examined the antimicrobial activity of furanones in a combination treatment using a cell permeabilizing agent (Polymyxin B and EDTA). The growth of Pseudomonas aeruginosa was not affected by the different furanones alone; however, by simultaneously adding a compound which interferes with the permeability of the cell membrane, the present

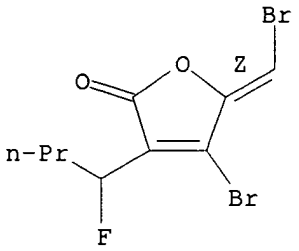
inventors have found that furanone compds. in combination with a permeabilizing agent can prevent growth of microorganisms including bacteria, particularly Gram neg. bacteria. To explore this concept, the antibiotic polymyxin B was included in the initial round of expts. involving the Escherichia coli, Burkholderia cepacia, and Pseudomonas aeruginosa. The results from these expts. suggested that different furanone compds. target different Gram neg. bacterial strains. The method is also applicable to the treatment of Candida albicans infection.

IT **247167-56-2**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antimicrobial compns. containing furanones and cell permeabilizing agents)

RN 247167-56-2 CAPLUS

CN 2(5H)-Furanone, 4-bromo-5-(bromomethylene)-3-(1-fluorobutyl)-, (5Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1999:691095 CAPLUS
DN 131:296526
TI Preparation of fimbrolide analog fouling inhibitors and bactericides
IN Read, Roger; Kumar, Naresh
PA Unisearch Limited, Australia
SO PCT Int. Appl., 52 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9954323	A1	19991028	WO 1999-AU285	19990416
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2328364	AA	19991028	CA 1999-2328364	19990416
	AU 9933225	A1	19991108	AU 1999-33225	19990416
	AU 754362	B2	20021114		
	EP 1071677	A1	20010131	EP 1999-914366	19990416
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				

	JP 2002530269	T2	20020917	JP 2000-544662	19990416
PRAI	AU 1998-2978	A	19980416		
	WO 1999-AU285	W	19990416		

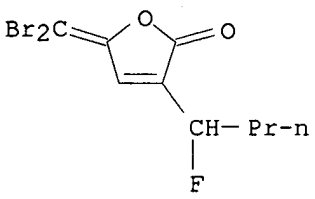
OS CASREACT 131:296526; MARPAT 131:296526

AB The invention relates to the side chain functionalization of fimbrolides (halogenated 3-alkyl-5-methylene-2(5H)-furanones) and their synthetic analogs, that yields fimbrolides substituted with a halogen, an oxygen or a nitrogen functionality in the alkyl chain, especially fimbrolide alcs., carboxylate and sulfinate and sulfonate esters, ethers, aldehydes, ketones, acids, amides, nitro derivs., hydrophobic, hydrophilic and fluorophilic alkyl derivs. and polymers (Markush given). The fimbrolide analogs are bactericides and marine fouling inhibitors.

IT **247155-79-9P**
RL: BUU (Biological use, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation as fimbrolide analog fouling inhibitor and bactericide)

RN 247155-79-9 CAPLUS

CN 2(5H)-Furanone, 5-(dibromomethylene)-3-(1-fluorobutyl)- (9CI) (CA INDEX NAME)



RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1999:690949 CAPLUS

DN 131:307086

TI Inhibition of Gram positive bacteria with furanones

IN Kjelleberg, Staffan; Steinberg, Peter David; Holmstrom, Carola; Back, Arthur

PA Unisearch Limited, Australia

SO PCT Int. Appl., 22 pp.
CODEN: PIXXD2

DT Patent

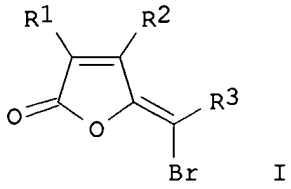
LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9953915	A1	19991028	WO 1999-AU284	19990416
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9933224	A1	19991108	AU 1999-33224	19990416
AU 759182	B2	20030410		
EP 1071416	A1	20010131	EP 1999-914365	19990416
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				

	US 2004072898	A1	20040415	US 2003-434193	20030509
PRAI	AU 1998-3034	A	19980417		
	WO 1999-AU284	W	19990416		
	US 2001-673386	B1	20010313		

GI



I

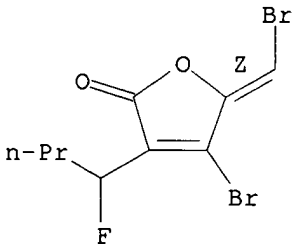
AB A method of inhibiting the growth of a Gram pos. bacterium comprises treating the bacterium with an effective amount of one or more furanones I (R1 = H, OH, ester, ether; R2, R3 = H, halo) wherein the effective amount of the one or more furanones does not substantially adversely effect the survival of an animal cell when exposed to the one or more furanones. Six different furanones were tested against Staphylococcus aureus and S. epidermidis. Cytotoxicity in mammalian systems was measured as inhibition of the growth of mouse fibroblast cells.

IT **247167-56-2**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(inhibition of Gram pos. bacteria with furanones)

RN 247167-56-2 CAPLUS

CN 2(5H)-Furanone, 4-bromo-5-(bromomethylene)-3-(1-fluorobutyl)-, (5Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> s l11 not l12
L13 15 L11 NOT L12

=> d bib abs 1-15

L13 ANSWER 1 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:360016 CAPLUS
DN 141:88975
TI Design, Synthesis, and Structure-Activity Relationships of Haloenol Lactones: Site-Directed and Isozyme-Selective Glutathione S-Transferase Inhibitors
AU Wu, Zhixing; Minhas, Gurpreet Singh; Wen, Dingyi; Jiang, Hualiang; Chen, Kaixian; Zimniak, Piotr; Zheng, Jiang
CS Shanghai Institute of Materia Medica, Chinese Academy of Sciences, Shanghai, 200031, Peop. Rep. China
SO Journal of Medicinal Chemistry (2004), 47(12), 3282-3294
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
OS CASREACT 141:88975
AB Overexpression of glutathione S-transferase (GST), particularly the GST-π isoenzyme, has been proposed to be one of the biochem. mechanisms responsible for drug resistance in cancer chemotherapy, and inhibition of overexpressed GST has been suggested as an approach to combat GST-induced drug resistance. 3-Cinnamyl-5(E)-bromomethylidenetetrahydro-2-furanone, a lead compound of site-directed GST-π inactivator, has been shown to potentiate the cytotoxic effect of cisplatin on tumor cells. As an initial step to develop more potent and more selective haloenol lactone inactivators of GST-π, the relationship between the chemical structures of haloenol lactone derivs. and their GST inhibitory activity was examined A total of 16 haloenol lactone derivs. were synthesized to probe the effects of (1) halogen electronegativity, (2) electron d. of aromatic rings, (3) mol. size and rigidity, (4) lipophilicity, and (5) aromaticity on the potency of GST-π inactivation. The inhibitory potency of each compound was determined by time-dependent inhibition tests, and recombinant human GST-π was used to determine their inhibitory activity. Structure-activity relationship studies demonstrated that (1) reactivity of the halide leaving group plays a weak role in GST inactivation by the haloenol lactones, (2) aromatic electron d. may have some influence on the potency of GST inactivation, (3) high rigidity likely disfavors enzyme inhibition, (4) lipophilicity is inversely proportional to enzyme inactivation, and (5) an unsatd. system may be important for enzyme inhibition. This work facilitated understanding of the interaction of GST-π with haloenol lactone derivs. as site-directed and isoenzyme-selective inactivators, possibly potentiating cancer chemotherapy.
RE.CNT 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 2 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:338553 CAPLUS
DN 141:355224
TI The control of Staphylococcus epidermidis biofilm formation and in vivo infection rates by covalently bound furanones
AU Hume, E. B. H.; Baveja, J.; Muir, B.; Schubert, T. L.; Kumar, N.; Kjelleberg, S.; Griesser, H. J.; Thissen, H.; Read, R.; Poole-Warren, L. A.; Schindhelm, K.; Willcox, M. D. P.
CS Cooperative Research Centre for Eye Research and Technology, The University of New South Wales, Sydney, NSW 2052, Australia
SO Biomaterials (2004), 25(20), 5023-5030
CODEN: BIMADU; ISSN: 0142-9612

PB Elsevier Science Ltd.
DT Journal
LA English
AB In order to overcome the continuing infection rate associated with biomaterials, the use of covalently bound furanones as an antibiofilm coating for biomaterials has been investigated. Furanones have previously been shown to inhibit growth of Gram-pos. and Gram-neg. bacteria. The aim of these studies were to covalently bind furanones to polymers and to test their efficacy for inhibiting biofilm formation of Staphylococcus epidermidis and in vivo infection rate. Two methods of covalent attachment of furanones were used. The first, a co-polymerization with a styrene polymer, and second, a plasma-1-ethyl-3-(dimethylaminopropyl) carbodiimide (EDC) reaction to produce furanone-coated catheters. Biofilm formation by S. epidermidis in vitro was inhibited by 89% for polystyrene-furanone disks and by 78% by furanone-coated catheters ($p<0.01$). In an in vivo sheep model we found furanones were effective at controlling infection for up to 65 days. Furanones have potential to be used as a coating for biomaterials to control infection caused by S. epidermidis.
RE.CNT 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

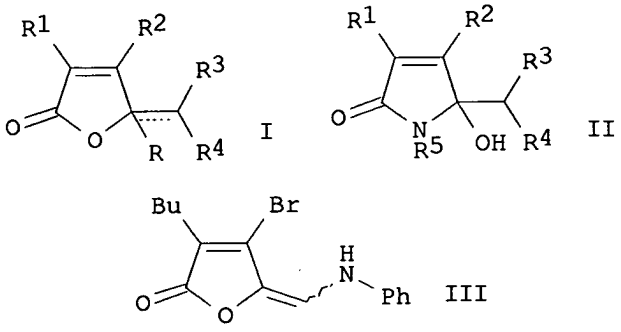
L13 ANSWER 3 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:338551 CAPLUS
DN 141:355223
TI Biological performance of a novel synthetic furanone-based antimicrobial
AU Baveja, J. K.; Li, G.; Nordon, R. E.; Hume, E. B. H.; Kumar, N.; Willcox, M. D. P.; Poole-Warren, L. A.
CS Cooperative Research Centre for Eye Research and Technology, University of New South Wales, Sydney, NSW 2052, Australia
SO Biomaterials (2004), 25(20), 5013-5021
CODEN: BIMADU; ISSN: 0142-9612
PB Elsevier Science Ltd.
DT Journal
LA English
AB Infection of medical devices causes significant morbidity and mortality and considerable research effort has been directed at solving this problem. The aim of this study was to assess the biol. performance of a novel furanone compound that has potential as an anti-infective coating for medical devices. This study examined in vitro leukocyte response following exposure to the antibacterial 3-(1'-bromohexyl)-5-dibromomethylene-2(5 H)-furanone and assessed the tissue response following s.c. implantation of the furanone compound covalently bound to polystyrene (PS). Peripheral human blood was exposed to furanones in solution for 1 h and flow cytometry used to analyze viability and changes in expression of surface receptors CD11b/CD18 and CD44. Flow cytometry results from propidium iodide stained cell suspensions suggested that the leukocytes were viable after exposure to furanones in whole blood. No significant difference was found in the expression of CD11b/CD18 and CD44 between the furanone exposed samples and the neg. control for neutrophils suggesting that the furanones themselves do not activate these leukocytes. The pos. control lipopolysaccharide significantly up-regulated CD11b/CD18 and slightly down-regulated CD44 on both PMNs and monocytes. In vivo studies of the tissue response to furanone covalently bound to PS showed that there was no significant difference in cellularity of capsules surrounding the disk and no significant increase in myeloperoxidase expression. These results demonstrate negligible acute inflammatory response to synthetic brominated antibacterial furanones. Future studies will focus on chronic responses and examination of in vivo efficacy.
RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 4 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:338549 CAPLUS
DN 141:355222
TI Furanones as potential anti-bacterial coatings on biomaterials
AU Baveja, J. K.; Willcox, M. D. P.; Hume, E. B. H.; Kumar, N.; Odell, R.; Poole-Warren, L. A.
CS Cooperative Research Centre for Eye Research and Technology, University of New South Wales, Sydney, NSW 2052, Australia
SO Biomaterials (2004), 25(20), 5003-5012
CODEN: BIMADU; ISSN: 0142-9612
PB Elsevier Science Ltd.
DT Journal
LA English
AB A major barrier to the long-term use of medical devices is development of infection. Staphylococcus epidermidis is one of the most common bacterial isolates from these infections with biofilm formation being their main virulence factor. Currently, antibiotics are used as the main form of therapy. However with the emergence of staphylococcal resistance, this form of therapy is fast becoming ineffective. In this study, the ability of a novel furanone antimicrobial compound to inhibit S. epidermidis adhesion and slime production on biomaterials was assessed. Furanones were phys. adsorbed to various biomaterials and bacterial load determined using radioactivity. Slime production was assessed using a colorimetric method. Addnl., the effect of the furanone coating on material surface characteristics such as hydrophobicity and surface roughness was also investigated. The results of this study indicated that there was no significant change in the material characteristics after furanone coating. Bacterial load on all furanone-coated materials was significantly reduced ($p<0.001$) as was slime production ($p<0.001$). There is a potential for furanone-coated biomaterials to be used to reduce medical device-associated infections.
RE.CNT 53 THERE ARE 53 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 5 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:162667 CAPLUS
DN 140:217510
TI Preparation of furanone and pyrrolone derivatives as antimicrobial and/or antifouling agents
IN Kumar, Naresh
PA Biosignal Pty. Ltd., Australia
SO PCT Int. Appl., 77 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004016588	A1	20040226	WO 2003-AU1053	20030819
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRAI AU 2002-950862	A	20020819		

OS MARPAT 140:217510
GI



AB Title compds., I and II [wherein R1, R2 = independently H, halogen, (un)substituted (oxo)alkyl, alkoxy, alkenyl, aryl, arylalkyl; R3, R4 = H, halogen, (un)substituted (aryl)alkyl, alkoxy, aryl, arylalkyl; R5 = independently H, (un)substituted (oxo)alkyl, alkoxy, alkylsilyl, alkenyl, aryl, arylalkyl; R = absent or hydroxy, halogen; with provisos; and pharmaceutically acceptable formation thereof] and analogs (4 addnl. Markush structures), were prepared as antimicrobial and/or antifouling agents. For example, reaction of 4-bromo-5-(bromomethylene)-3-butyl-2(5H)-furanone with aniline at room temperature for 72 h gave III. The prepared furanone and pyrrolone derivs. were tested for the inhibition of AHL-mediated quorum sensing, AI-2 pathway and growth of S. aureus. Thus, title compds. and their pharmaceutical compns. are useful as antimicrobial and/or antifouling agents for inhibiting biofilm formation in medical, scientific and/or biol. applications (no data).

RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 6 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2002:977651 CAPLUS
DN 138:61381
TI Biofilm degradation or sloughing compositions containing furanones
IN Kjelleberg, Staffan; Givskov, Michael; Hentzer, Morten
PA Unisearch Limited, Australia
SO PCT Int. Appl., 69 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002102370	A1	20021227	WO 2002-AU797	20020618
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2004147595	A1	20040729	US 2004-481250	20040331
PRAI	AU 2001-5754	A	20010618		
	WO 2002-AU797	W	20020618		

OS MARPAT 138:61381
AB The present invention relates to a method for the regulation and control

of biofilm layers. In particular, the present invention is concerned with methods for degrading or causing sloughing of biofilms from surfaces (e.g., medical goods, implants, household furnishings, cooling systems in power plants). The invention is also related to compns. suitable for use in carrying out these methods. Thus, halogenated furanones were tested 8 different concns. The inhibitory activity of each compound on the fluorescent phenotype was diminished as the concentration increased.

RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 7 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2002:616357 CAPLUS
DN 137:171460
TI Antimicrobial compositions containing quaternary ammonium compounds, silanes and other disinfectants with furanones
IN Charaf, Ursula K.; Avery, Richard W.
PA USA
SO U.S. Pat. Appl. Publ., 19 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002111282	A1	20020815	US 2001-986301	20011108
	US 6528472	B2	20030304		
	CA 2428789	AA	20021017	CA 2001-2428789	20011116
	WO 2002080677	A1	20021017	WO 2001-US43886	20011116
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	EP 1349454	A1	20031008	EP 2001-273631	20011116
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2004524367	T2	20040812	JP 2002-578725	20011116
PRAI	US 2000-249253P	P	20001117		
	US 2001-986301	A	20011108		
	WO 2001-US43886	W	20011116		

AB A synergistic antimicrobial composition for industrial and household cleaning comprises an effective amount of at least one furanone (1 μg/L-5000 mg/L), e.g., furanone 30, together with other disinfectants, such as, organosilane with quaternary ammonium functionality (0.001-5.0% by weight), and/or a quaternary ammonium compound (0.01-10.0% by weight). Addnl., biguanides and disinfectant amines also may be combined with furanones in an antimicrobial composition For example, zone of inhibition of 40-42 mm was observed with an antimicrobial composition containing Plurafac B25-5 5.00%,
BTC 1010
2.00%, AEM 5772 0.30%, and water 92.70% plus 10 μg/mL of furanone 30.

L13 ANSWER 8 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2002:465812 CAPLUS
DN 137:44155
TI Regulation of bacterial virulence
IN Kjellberg, Staffan; Rice, Scott; McDougald, Diane
PA Unisearch Limited, Australia
SO PCT Int. Appl., 74 pp.

CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

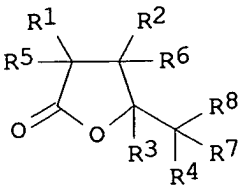
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002047681	A1	20020620	WO 2001-AU1621	20011214
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2002020378	A5	20020624	AU 2002-20378	20011214
PRAI	AU 2000-2090	A	20001214		
	WO 2001-AU1621	W	20011214		

OS MARPAT 137:44155
AB The present invention relates to methods of inhibiting virulence in organisms with an AI-2 system using furanones and related compds. These methods represent a novel mechanism for controlling disease causing organisms.
RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 9 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2002:10458 CAPLUS
DN 136:69697
TI Preparation and antimicrobial activity of fimbrolides
IN Kumar, Naresh; Read, Roger Wayne
PA Unisearch Limited, Australia
SO PCT Int. Appl., 77 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002000639	A1	20020103	WO 2001-AU781	20010628
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2413336	AA	20020103	CA 2001-2413336	20010628
	EP 1294705	A1	20030326	EP 2001-944754	20010628
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2004501205	T2	20040115	JP 2002-505387	20010628
	US 2004110966	A1	20040610	US 2003-312155	20030410
PRAI	AU 2000-8419	A	20000628		
	WO 2001-AU781	W	20010628		

OS CASREACT 136:69697; MARPAT 136:69697
GI



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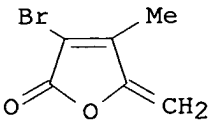


II

AB Fimbrolides, such as I [R1 = H, halogen, alkyl; R2 = alkyl, alkoxy, oxoalkyl, alkenyl, aryl, arylalkyl; R3 = H, OH, halogen, alkoxy; R4, R8 = H, halogen; R7 = H; R5, R6 = H, halogen; R3R7 = bond; R5R6 = bond], were prepared for use as antibacterial and fungicidal agents. Thus, furanone II was prepared in a four step synthetic sequence, which included condensation of OHCCO2H with MeCOCH2Me to form MeCOC(Me):CHCO2H, bromination to form MeCOCBrMeCHBrCO2H, lactonization to form I (R1 = R2 = Br, R3R7 = bond, R4 = R5 = R8 = H, R6 = Me,), and dehydrobromination as the final step. The prepared furanones were tested for their ability to inhibit biofilm formation by Pseudomonas aeruginosa and for antibacterial and fungicidal activity against Staphylococcus aureus and Candida albicans.

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 10 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2001:693087 CAPLUS
DN 135:251940
TI Furanones for the inhibition of fungi
IN Holmstrom, Gerd Pia Carola; Kjelleberg, Staffan
PA Unisearch Limited, Australia
SO PCT Int. Appl., 32 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001068091	A1	20010920	WO 2001-AU296	20010316

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRAI AU 2000-6290 A 20000316

AB The invention provides antifungal compns. and methods of treating fungal infections. The composition includes a furanone derivative as active agent.

RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 11 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2001:693086 CAPLUS
DN 135:236406
TI Microbial inhibitory compositions containing furanones and cell permeabilizing agents
IN Holmstrom, Gerd Pia Carola; Kjelleberg, Staffan
PA Unisearch Limited, Australia
SO PCT Int. Appl., 44 pp.

CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001068090	A1	20010920	WO 2001-AU295	20010316
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1274420	A1	20030115	EP 2001-911289	20010316
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2003198692	A1	20031023	US 2002-221675	20021028
PRAI AU 2000-6292	A	20000316		
WO 2001-AU295	W	20010316		

OS MARPAT 135:236406
AB The present invention examined the antimicrobial activity of furanones in a combination treatment using a cell permeabilizing agent (Polymyxin B and EDTA). The growth of Pseudomonas aeruginosa was not affected by the different furanones alone; however, by simultaneously adding a compound which interferes with the permeability of the cell membrane, the present inventors have found that furanone compds. in combination with a permeabilizing agent can prevent growth of microorganisms including bacteria, particularly Gram neg. bacteria. To explore this concept, the antibiotic polymyxin B was included in the initial round of expts. involving the Escherichia coli, Burkholderia cepacia, and Pseudomonas aeruginosa. The results from these expts. suggested that different furanone compds. target different Gram neg. bacterial strains. The method is also applicable to the treatment of Candida albicans infection.
RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 12 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2001:452855 CAPLUS
DN 135:41008
TI Inhibition of two-component signal transduction systems
IN England, Dacre; Kjelleberg, Staffan
PA Unisearch Limited, Australia
SO PCT Int. Appl., 38 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001043739	A1	20010621	WO 2000-AU1553	20001218
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				

EP 1248611 A1 20021016 EP 2000-986856 20001218
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
US 2003125381 A1 20030703 US 2002-168141 20020702
PRAI AU 1999-4755 A 19991217
WO 2000-AU1553 W 20001218
OS MARPAT 135:41008
AB The present invention provides compns. and methods for inhibition activities and actions of microorganisms, particularly bacteria. The compns. and methods are based primarily on the inhibition of two-component signal transduction systems with halogenated furanones and related 3-haloalkenones.
RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 13 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1999:691095 CAPLUS
DN 131:296526
TI Preparation of fimbrolide analog fouling inhibitors and bactericides
IN Read, Roger; Kumar, Naresh
PA Unisearch Limited, Australia
SO PCT Int. Appl., 52 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9954323	A1	19991028	WO 1999-AU285	19990416
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2328364	AA	19991028	CA 1999-2328364	19990416
AU 9933225	A1	19991108	AU 1999-33225	19990416
AU 754362	B2	20021114		
EP 1071677	A1	20010131	EP 1999-914366	19990416
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002530269	T2	20020917	JP 2000-544662	19990416
PRAI AU 1998-2978	A	19980416		
WO 1999-AU285	W	19990416		

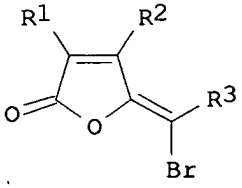
OS CASREACT 131:296526; MARPAT 131:296526
AB The invention relates to the side chain functionalization of fimbrolides (halogenated 3-alkyl-5-methylene-2(5H)-furanones) and their synthetic analogs, that yields fimbrolides substituted with a halogen, an oxygen or a nitrogen functionality in the alkyl chain, especially fimbrolide alcs., carboxylate and sulfinate and sulfonate esters, ethers, aldehydes, ketones, acids, amides, nitro derivs., hydrophobic, hydrophilic and fluorophilic alkyl derivs. and polymers (Markush given). The fimbrolide analogs are bactericides and marine fouling inhibitors.
RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 14 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1999:690949 CAPLUS
DN 131:307086

TI Inhibition of Gram positive bacteria with furanones
IN Kjelleberg, Staffan; Steinberg, Peter David; Holmstrom, Carola; Back, Arthur
PA Unisearch Limited, Australia
SO PCT Int. Appl., 22 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9953915	A1	19991028	WO 1999-AU284	19990416
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9933224	A1	19991108	AU 1999-33224	19990416
	AU 759182	B2	20030410		
	EP 1071416	A1	20010131	EP 1999-914365	19990416
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	US 2004072898	A1	20040415	US 2003-434193	20030509
PRAI	AU 1998-3034	A	19980417		
	WO 1999-AU284	W	19990416		
	US 2001-673386	B1	20010313		

GI



I

AB A method of inhibiting the growth of a Gram pos. bacterium comprises treating the bacterium with an effective amount of one or more furanones I (R1 = H, OH, ester, ether; R2, R3 = H, halo) wherein the effective amount of the one or more furanones does not substantially adversely effect the survival of an animal cell when exposed to the one or more furanones. Six different furanones were tested against Staphylococcus aureus and S. epidermidis. Cytotoxicity in mammalian systems was measured as inhibition of the growth of mouse fibroblast cells.
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 15 OF 15 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1999:48770 CAPLUS
DN 130:111094
TI Polymers compositions containing isothiazolone and furanone antifouling agents and molded articles made from them
IN Christie, Gregor Bruce Yeo; Christov, Victor; De Nys, Peter Canisius; Steinberg, Peter; Hodson, Stephen
PA Aquaculture CRC Limited, Australia

SO PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9901514	A1	19990114	WO 1998-AU509	19980703
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9880943	A1	19990125	AU 1998-80943	19980703
	AU 729349	B2	20010201		
	EP 996681	A1	20000503	EP 1998-930555	19980703
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	NZ 502376	A	20001124	NZ 1998-502376	19980703
	JP 2002508800	T2	20020319	JP 1999-505953	19980703
	NO 2000000014	A	20000225	NO 2000-14	20000103
	US 6635692	B1	20031021	US 2001-445682	20010420
PRAI	AU 1997-7720	A	19970704		
	WO 1998-AU509	W	19980703		

AB An extrudable polymer composition having antifouling activity comprises a polymer or polymer blend selected from ethylene-vinyl acetate copolymer, high-d. polyethylene, nylon, polypropylene, sodium ionomers, and acrylic acid-ethylene copolymer and one or more organic antifouling agents belonging to the family of isothiazolones and furanones. The polymer composition has broad-spectrum antifouling activity for a prolonged period of at least 100 days when substantially immersed in a natural aqueous environment and can be used in making fish cages, crates, or other structural material.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT